

L1 1 S US 20070185096/PN

FILE 'REGISTRY' ENTERED AT 14:29:42 ON 07 DEC 2009

L2 1 S 865470-96-8/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:30:06 ON 07 DEC 2009

L3 1 S 865470-97-9/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:30:20 ON 07 DEC 2009

L4 1 S 865470-98-0/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:30:34 ON 07 DEC 2009

L5 1 S 865470-99-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:30:49 ON 07 DEC 2009

L6 1 S 865471-00-7/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:31:07 ON 07 DEC 2009

L7 1 S 865471-01-8/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:31:28 ON 07 DEC 2009

L8 1 S 689141-48-8/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:31:49 ON 07 DEC 2009

L9 1 S 865470-74-2/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:32:09 ON 07 DEC 2009

L10 1 S 865470-85-5/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:35:21 ON 07 DEC 2009

L11 STRUCTURE UPLOADED

L12 39 S L11 SSS SAM

L13 762 S L11 SSS FULL

FILE 'REGISTRY' ENTERED AT 14:39:17 ON 07 DEC 2009

L14 1 S 865471-04-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L15 FILE 'REGISTRY' ENTERED AT 14:39:41 ON 07 DEC 2009  
1 S 406940-52-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L16 FILE 'REGISTRY' ENTERED AT 14:40:15 ON 07 DEC 2009  
1 S 406941-75-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L17 FILE 'REGISTRY' ENTERED AT 14:41:18 ON 07 DEC 2009  
1 S 406942-68-5/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L18 FILE 'REGISTRY' ENTERED AT 14:41:54 ON 07 DEC 2009  
1 S 406943-07-5/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L19 FILE 'REGISTRY' ENTERED AT 14:42:23 ON 07 DEC 2009  
1 S 406944-37-4/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L20 FILE 'REGISTRY' ENTERED AT 14:42:48 ON 07 DEC 2009  
1 S 543700-68-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L21 FILE 'REGISTRY' ENTERED AT 14:43:14 ON 07 DEC 2009  
1 S 682754-93-4/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L22 FILE 'REGISTRY' ENTERED AT 14:43:32 ON 07 DEC 2009  
1 S 682755-55-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L23 FILE 'REGISTRY' ENTERED AT 14:43:51 ON 07 DEC 2009  
1 S 682755-63-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L24 FILE 'REGISTRY' ENTERED AT 14:44:09 ON 07 DEC 2009  
1 S 682755-73-3/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L25 FILE 'REGISTRY' ENTERED AT 14:52:59 ON 07 DEC 2009  
STRUCTURE UPLOADED

L26 0 S L25 SSS SAM

L27 0 S L25 SSS FULL

L28 FILE 'HCAPLUS' ENTERED AT 14:53:38 ON 07 DEC 2009  
1 S US 20070185096/PN

L29 FILE 'REGISTRY' ENTERED AT 14:53:58 ON 07 DEC 2009  
1 S 865470-94-6/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L30 FILE 'REGISTRY' ENTERED AT 14:54:10 ON 07 DEC 2009  
1 S 865471-20-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L31 FILE 'REGISTRY' ENTERED AT 14:54:23 ON 07 DEC 2009  
1 S 865471-17-6/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L32 FILE 'REGISTRY' ENTERED AT 14:54:38 ON 07 DEC 2009  
1 S 115029-23-7/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L33 FILE 'REGISTRY' ENTERED AT 14:54:56 ON 07 DEC 2009  
1 S 865471-01-8/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L34 FILE 'REGISTRY' ENTERED AT 14:55:14 ON 07 DEC 2009  
1 S 865471-02-9/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L35 FILE 'REGISTRY' ENTERED AT 14:55:31 ON 07 DEC 2009  
1 S 865471-03-0/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L36 FILE 'REGISTRY' ENTERED AT 14:55:51 ON 07 DEC 2009  
1 S 865471-04-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L37 FILE 'REGISTRY' ENTERED AT 14:56:08 ON 07 DEC 2009  
1 S 865471-05-2/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L38 FILE 'REGISTRY' ENTERED AT 14:56:27 ON 07 DEC 2009  
1 S 865471-06-3/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

L39 FILE 'REGISTRY' ENTERED AT 14:56:47 ON 07 DEC 2009  
1 S 865471-08-5/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:57:08 ON 07 DEC 2009

L40           1 S 865471-10-9/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:57:30 ON 07 DEC 2009

L41           1 S 865471-12-1/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:58:07 ON 07 DEC 2009

L42           1 S 1019852-79-9/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:58:33 ON 07 DEC 2009

L43           1 S 689141-85-3/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:58:57 ON 07 DEC 2009

L44           1 S 400750-49-4/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 14:59:26 ON 07 DEC 2009

L45           1 S 682754-93-4/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:00:00 ON 07 DEC 2009

L46           1 S 682755-55-1/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:00:31 ON 07 DEC 2009

L47           1 S 682755-63-1/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:00:57 ON 07 DEC 2009

L48           1 S 682755-73-3/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:01:30 ON 07 DEC 2009

L49           1 S 682755-77-7/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:01:48 ON 07 DEC 2009

L50           1 S 865724-48-7/RN  
              SET NOTICE 1 DISPLAY  
              SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:03:44 ON 07 DEC 2009

L51           1 S 865724-49-8/RN  
              SET NOTICE 1 DISPLAY

```

SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:04:09 ON 07 DEC 2009
L52      1 S 865788-63-2/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:04:31 ON 07 DEC 2009
L53      1 S 317846-22-3/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:05:01 ON 07 DEC 2009
L54      1 S 301353-36-6/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:05:56 ON 07 DEC 2009
L55      1 S 865470-74-2/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:06:27 ON 07 DEC 2009
L56      1 S 865471-21-2/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:07:13 ON 07 DEC 2009
L57      1 S 865475-79-2/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:07:37 ON 07 DEC 2009
L58      1 S 865475-45-2/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:07:54 ON 07 DEC 2009
L59      1 S 865471-65-4/RN
          SET NOTICE 1 DISPLAY
          SET NOTICE LOGIN DISPLAY

FILE 'REGISTRY' ENTERED AT 15:08:26 ON 07 DEC 2009
          E 865471-48-7/RN
          E 865724-48-7/RN
L60      1 S E3
          SET EXPAND CONTINUOUS

FILE 'HCAPLUS' ENTERED AT 15:09:12 ON 07 DEC 2009
L61      2 S L60
L62      3 S L59
L63      0 S L62 AND (PY<2004 OR AY<2004 OR PRY<2004)

L1        STRUCTURE UPLOADED

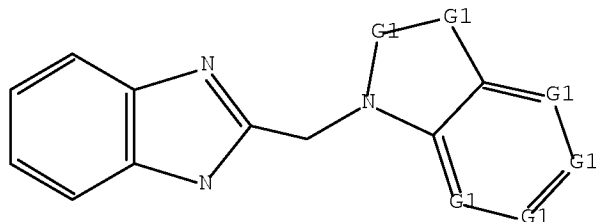
```

L1           STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1           STR



G1 C,N

G2 C,S

G3 Cy,Ak

L2           50 S L1 SSS SAM

L3           1054 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 15:58:01 ON 07 DEC 2009

L4           52 S L3

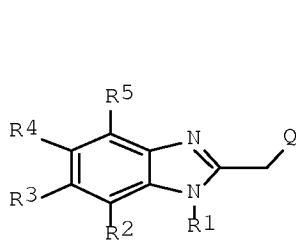
L5           21 S L4 AND (PY<2004 OR AY<2004 OR PRY<2004)

L6           8 S L5 AND (SYNCYTIAL?)

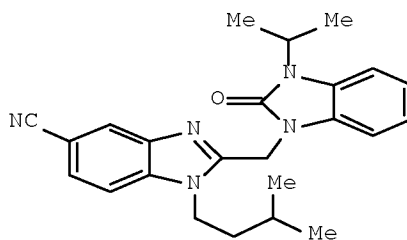
L6   ANSWER 2 OF 8   HCAPLUS   COPYRIGHT 2009 ACS on STN

TI   Preparation of 2-(heterocyclylmethyl)benzimidazoles as respiratory syncytial virus antiviral agents

GI



I



II

AB   Title compds. I [wherein R1 = (CRaRb)<sub>n</sub>X; R2 = H; R3 = CONRhRi, CO2Rd, or (un)substituted alkyl; R4 = NH<sub>2</sub>, CONRhRi, heteroaryl, alkenyl, CO2Rd, N=CPh<sub>2</sub>, C(NOH)NH<sub>2</sub>, C(NH)NH<sub>2</sub>, or (un)substituted alkyl; R5 = CO2Rj or (un)substituted alkyl or alkenyl; Q = (un)substituted benzimidazolyl, benzotriazolyl, imidazopyridinyl, quinolinyl, quinazolinyl, benzyloxy, etc.; X = H or (un)substituted alkyl; Ra and Rb = independently H or (halo)alkyl; Rd = alkyl; Rh and Ri = independently H or alkyl; Rj = H or alkyl; n = 1-6; and pharmaceutically acceptable salts thereof] were prepared as antiviral compds. More particularly, the invention provides 2-(heterocyclylmethyl)benzimidazole derivs. for the

treatment of respiratory syncytial virus (RSV) infection. For example, 1-isopropyl-1,3-dihydrobenzimidazol-2-one was coupled with 2-chloromethyl-1-(3-methylbutyl)-1H-benzimidazole-5-carbonitrile in the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF to give II (95%). Disclosed compds. protected HEp-2 cells from RSV-induced cytopathic effects with EC<sub>50</sub> values between 50 µM and 0.001 µM, compared to an EC<sub>50</sub> of 3 µM for ribavirin. I also displayed antiviral activity by reducing viral protein expression in HEp-2 cells with EC<sub>50</sub> values between 50 µM and 0.001 µM, compared to an EC<sub>50</sub> value of 3 µM for ribavirin. Thus, I and compns. comprising I are useful for the treatment of RSV infections.

ACCESSION NUMBER: 2003:511082 HCAPLUS Full-text

DOCUMENT NUMBER: 139:85343

TITLE: Preparation of 2-(heterocyclylmethyl)benzimidazoles as

respiratory syncytial virus antiviral agents  
INVENTOR(S): Yu, Kuo-long; Wang, Xiangdong; Sun, Yaxiong;  
Cianci,

Christopher; Thuring, Jan Willem; Combrink,  
Keith;

Meanwell, Nicholas; Zhang, Yi; Civiello, Rita  
L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

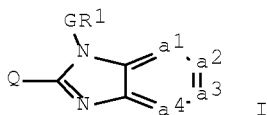
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	----
-----				
WO 2003053344	A2	20030703	WO 2002-US39220	
20021206 <--				
WO 2003053344	A3	20031113		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,			
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,			
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,			
	PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,			
	UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,			
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,			
	CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

L6 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN  
 TI Preparation of benzimidazoles as respiratory syncytial virus  
 replication inhibitors.  
 GI



AB Title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH,  
 N:CHCH:CH, CH:NCH:CH; CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1,  
 R2R4NCOAX1, specified (substituted) (hetero)cycles; A =  
 (substituted) alkylene; X1 = imino, S, SO, SO2, O, CH2, CO,  
 CH(OH), etc.; R1 = (substituted) bicyclic heterocycle; G = bond,  
 (substituted) alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl,  
 piperidinyl, homopiperidinyl, etc.; R4 = H, alkyl, aralkyl], were  
 prepared Thus, 1-[4-[[1-(2-quinolylmethyl)-1H-benzimidazol-2-  
 yl]amino]-1-piperidinyl]-3-methyl-2-butanone was hydrogenated  
 with PhCH2NH2 in MeOH over Pd/C to give N-[1-(2-amino-3-  
 methylbutyl)-4-piperidinyl]-1-(2-quinolylmethyl)-1H-benzimidazol-  
 2-amine and N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-  
 [(1,2,3,4-tetrahydro-2-quinolyl)methyl]-1H-benzimidazol-2-amine  
 tetrahydrochloride. Tested I inhibited respiratory syncytial  
 virus replication with IC50 = 0.0004-1.5849 µM.

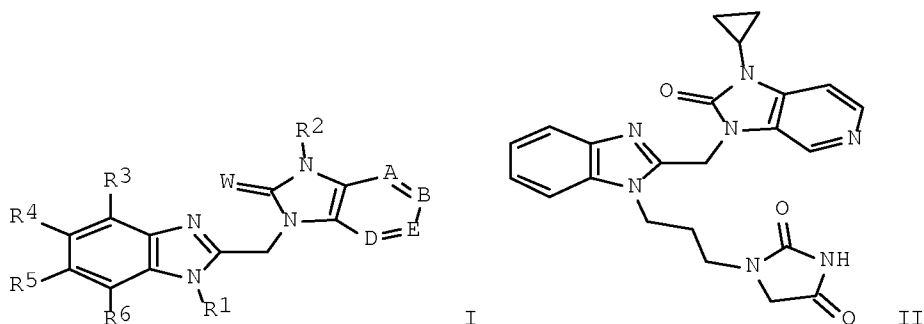
ACCESSION NUMBER: 2001:12448 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:86251  
 TITLE: Preparation of benzimidazoles as respiratory  
 syncytial virus replication inhibitors.  
 INVENTOR(S): Janssens, Frans Eduard; Lacrampe, Jean Fernand  
 Armand;  
 Guillemon, Jerome Emile Georges; Venet, Marc  
 Gaston;  
 Andries, Koenraad Jozef Lodenwijk Marcel  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000615	A1	20010104	WO 2000-EP5677	
20000620 <--				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH,				
CN, CR,				
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,				
HR, HU,				



ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU,  
 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,  
 RU, SD,  
 SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,  
 VN, YU,  
 ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,  
 CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
 BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

L6 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2009 ACS on STN  
 TI Preparation of imidazopyridine and imidazopyrimidine antiviral  
 agents  
 GI



AB The title compds. [I; W = O, S; R1 = (CR'R'')nX; X = H, alkyl, cycloalkyl, etc.; n = 2-6; R2 = H, alkyl, cycloalkyl, etc.; R3-R6 = H, halo, alkyl, etc.; A, B, E, D = CH, CQ, N, NO; provided at least one of A, B, E or D is not CH or CQ; Q = halo, alkyl, alkyl substituted with 1-3 halogen atoms; R', R'' = H, alkyl, cycloalkyl, etc.], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepared Thus, reacting I [W = O; R1 = (CH2)3NH2; R2 = cyclopropyl; R3-R6 = H; E = N; A, B, D = CH] (preparation given) with N-chloroacetylurethane in the presence of Na2CO3 in MeCN afforded 39% II.TFA. The compds. I showed antiviral activity against RSV with EC50's between 50  $\mu$ M and 0.001  $\mu$ M vs. Ribavirin with an EC50 of 3  $\mu$ M.

ACCESSION NUMBER: 2001:923615 HCAPLUS Full-text  
 DOCUMENT NUMBER: 136:37623  
 TITLE: Preparation of imidazopyridine and  
 imidazopyrimidine  
 antiviral agents  
 INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink,

Keith D.;

Gulgeze, Hatice Belgin; Sin, Ny; Wang,

Xiangdong;

Meanwell, Nicholas A.; Venables, Brian Lee

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	----
WO 2001095910	A1	20011220	WO 2001-US14775	
20010508 <--				
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

FILE 'REGISTRY' ENTERED AT 16:00:51 ON 07 DEC 2009

E 114054-02-9/RN

E 1140054-02-9/RN

SET EXPAND CONTINUOUS

L7 1 S E3

L8 1 S E4

L9 1 S E6

L10 1 S E7

E 1140054-36-9/RN

L11 1 S E15

E 317589-57-4/RN

L12 1 S E27

E 380602-42-6/RN

L13 1 S E39

L14 1 S E42

L15 1 S E43

L16 1 S E44

E 380602-53-9/RN

L17 1 S E51

E 380603-02-1/RN

L18 1 S E63

L19 1 S E70  
 L20 1 S E71  
 L21 1 S E72  
     E 380603-12-3/RN  
 L22 1 S E75  
     E 380604-00-2/RN  
 L23 1 S E87  
 L24 1 S E89  
 L25 1 S E95  
     E 380604-10-4/RN  
 L26 1 S E99  
 L27 1 S E104  
 L28 1 S E108  
     E 380604-21-7/RN  
 L29 1 S E111  
     E 406940-52-1/RN  
 L30 1 S E123

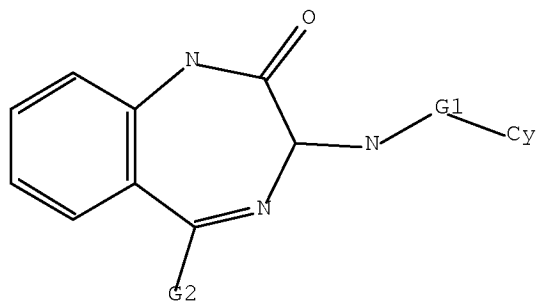
FILE 'REGISTRY' ENTERED AT 16:13:41 ON 07 DEC 2009  
 L31 STRUCTURE UPLOADED

L31 STRUCTURE UPLOADED

=> d l31

L31 HAS NO ANSWERS

L31 STR



G1 C,S  
 G2 Cy,Ak

L32 50 S L31 SSS SAM  
 L33 1481 S L31 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:15:22 ON 07 DEC 2009  
 L34 511 S L33  
 L35 424 S L34 AND (PY<2004 OR AY<2004 OR PRY<2004)  
 L36 2 S L35 AND (SYNCYTIAL?)  
 L37 4 S L35 AND (VIRAL?)  
 L38 4 S L37 AND (PY<2004 OR AY<2004 OR PRY<2004)  
 L39 2 S L38 NOT L36

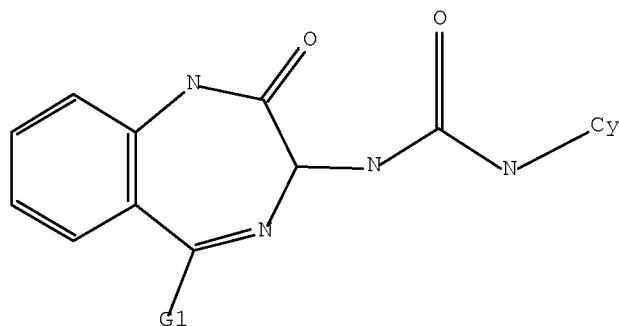
FILE 'REGISTRY' ENTERED AT 16:18:37 ON 07 DEC 2009  
 E 304681-21-8/RN

L40 1 S E135  
L41 1 S E136  
L42 1 S E137  
L43 1 S E140

FILE 'REGISTRY' ENTERED AT 16:21:36 ON 07 DEC 2009  
L44 STRUCTURE UPLOADED

L44 STRUCTURE UPLOADED

=> d l44  
L44 HAS NO ANSWERS  
L44 STR



G1 Cy,Ak

L45 50 S L44 SSS SAM  
L46 1901 S L44 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:22:34 ON 07 DEC 2009  
L47 409 S L46  
L48 341 S L47 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L49 1 S L48 AND (SYNCYTIAL?)  
L50 2 S L48 AND (VIRAL?)  
L51 1 S L50 NOT L49  
L52 3 S L48 AND (RESPIRATORY)  
L53 2 S L52 NOT L49

L53 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN

TI A phase 1 study of the cholecystokinin (CCK) B antagonist L-365,260 in

human subjects taking morphine for intractable non-cancer pain  
AB To investigate the safety and tolerability of L-365,260 in human subjects taking morphine for intractable pain. An open label study of nine adult subjects. Two doses of L-365,260 were administered to all subjects separated by a 4 h interval (three received 10 mg, three 30 mg and three 60 mg). Hemodynamic and respiratory variables were recorded from immediately prior to first drug administration to T+600 min. In addition, continuous ECG monitoring and serial 12 lead ECGs were recorded along with pain and side effect measurements. No major side effects were observed L-365,260 was well tolerated. No abnormalities in blood pressure, heart rate, respiratory rate or ECG measurements were

recorded. Minor side effects were observed L-365,260 can be safely administered at the doses investigated to human subjects receiving morphine for intractable pain.

ACCESSION NUMBER: 2002:807031 HCAPLUS Full-text  
DOCUMENT NUMBER: 138:348601  
TITLE: A phase 1 study of the cholecystokinin (CCK) B antagonist L-365,260 in human subjects taking morphine for intractable non-cancer pain  
AUTHOR(S): McCleane, Gary J.  
CORPORATE SOURCE: Rampark Pain Centre, Lurgan, BT66 7JH, UK  
SOURCE: Neuroscience Letters (2002), 332(3), 210-212  
CODEN: NELED5; ISSN: 0304-3940  
PUBLISHER: Elsevier Science Ireland Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
CC 1-11 (Pharmacology)  
IT 118101-09-0, L-365260  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of L-365260 in human subjects with intractable non-cancer pain)

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS

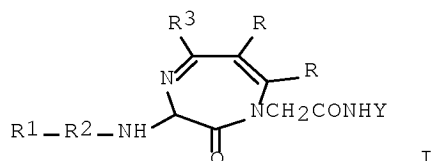
RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L53 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Inhibitors of interleukin-1 $\beta$  converting enzyme

GI



AB The present invention relates to novel classes of compds. I [RC:CR is an optionally substituted aryl or heteroaryl ring; R1 = aryl, heteroaryl, alkylaryl, alkylheteroaryl; R2 = bond, CO, COCO, SO2, OCO, NHCO, NHSO2, NHCOCO, CH:CHCO, OCH2CO, NHCH2CO, etc.; R3 = aryl, heteroaryl, cycloalkyl, alkyl, dialkylamino; Y = R5CO(CH2)mCH2CH(COR6) or related lactones or semicarbazones, where R5 = OH, alkoxy, NHOH, etc.; R6 = H, HOCH2, aryloxymethyl, etc.; m = 0 or 1] which were prepared as inhibitors of interleukin-1 $\beta$  converting enzyme. (ICE). Thus, (3S)-3-[3(R,S)-[(benzyloxycarbonyl)amino]-1,3-dihydro-2-oxo-5-phenyl-2H-1,4-benzodiazepin-1-acetylamino]-4-oxobutyric acid, prepared from 3(R,S)-[(benzyloxycarbonyl)amino]-1,3-dihydro-2-oxo-5-phenyl-2H-

1,4- benzodiazepin-1-acetic acid and (3S)-3-(1-fluorenylmethoxycarbonylamino)-4- oxobutyric acid tert-Bu ester semicarbazone, showed ICE inhibition constant  $K_i$  = 650 nM and  $IC_{50}$  = 20,000 nM.

ACCESSION NUMBER: 1998:394349 HCAPLUS Full-text  
DOCUMENT NUMBER: 129:54608  
ORIGINAL REFERENCE NO.: 129:11385a,11388a  
TITLE: Inhibitors of interleukin-1 $\beta$  converting enzyme  
INVENTOR(S): Golec, Julian M. C.; Lauffer, David J.;  
Livingston,  
David J.; Mullican, Michael D.; Murcko, Mark  
A.; Nyce,  
Philip L.; Robidoux, Andrea L. C.; Wannamaker,  
Marion  
W.  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA;  
Golec,  
Julian M. C.; Lauffer, David J.; Livingston,  
David J.;  
Mullican, Michael D.; Murcko, Mark A.; Nyce,  
Philip  
L.; Robidoux, Andrea L. C.; Wannamaker, Marion  
W.  
SOURCE: PCT Int. Appl., 135 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9824805	A1	19980611	WO 1997-US22289	
19971205 <--				
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2274249	A1	19980611	CA 1997-2274249	
19971205 <--				
AU 9858960	A	19980629	AU 1998-58960	
19971205 <--				
EP 944645	A1	19990929	EP 1997-954531	
19971205 <--				
EP 944645	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,				

MC, PT,

IE, FI

JP 2001505883	T	20010508	JP 1998-525818	
19971205 <--				
JP 4274584	B2	20090610		
AT 290545	T	20050315	AT 1997-954531	
19971205 <--				
ES 2239788	T3	20051001	ES 1997-954531	
19971205 <--				
US 6329365	B1	20011211	US 1999-326495	
19990604 <--				
US 20030069228	A1	20030410	US 2001-35850	
20011023 <--				
US 6573259	B2	20030603		
US 20040048855	A1	20040311	US 2003-424576	
20030425 <--				
US 6974809	B2	20051213		
PRIORITY APPLN. INFO.:			US 1996-32792P	P
19961206 <--				
			US 1997-42660P	P
19970404 <--				
			US 1997-53001P	P
19970626 <--				
			WO 1997-US22289	W
19971205 <--				
			US 1999-326495	A3
19990604 <--				
			US 2001-35850	A3

20011023 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 129:54608

IC ICM C07K005-023

ICS A61K038-06

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 28, 63

IT Respiratory distress syndrome

(newborn; inhibitors of interleukin-1 $\beta$  converting enzyme)

IT 172968-04-6P 208758-94-5P 208758-95-6P 208758-96-7P

208758-97-8P

208758-98-9P 208758-99-0P 208759-00-6P 208759-01-7P

208759-02-8P

208759-03-9P 208759-04-0P 208759-05-1P 208759-06-2P

208759-07-3P

208759-09-5P 208759-11-9P 208759-13-1P 208759-15-3P

208759-17-5P 208759-19-7P 208759-21-1P 208759-24-4P

208759-26-6P

208759-28-8P 208759-30-2P 208759-32-4P 208759-38-0P

208759-39-1P

208759-40-4P 208759-41-5P 208759-42-6P 208759-43-7P

208759-44-8P

208759-45-9P 208759-46-0P 208759-47-1P 208759-48-2P

208759-49-3P

208759-50-6P 208759-51-7P 208759-52-8P 208759-53-9P

208759-54-0P

208759-55-1P 208759-56-2P 208759-57-3P 208759-58-4P

208759-59-5P

RL: BAC (Biological activity or effector, except adverse); BSU

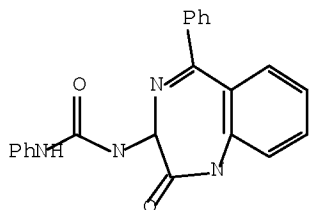
(Biological  
study, unclassified); SPN (Synthetic preparation); THU  
(Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(inhibitors of interleukin-1 $\beta$  converting enzyme)

L55        STRUCTURE UPLOADED

=> d l55

L55 HAS NO ANSWERS

L55                STR



FILE 'REGISTRY' ENTERED AT 16:25:25 ON 07 DEC 2009  
E 208759-11-9/RN

L54                1 S E147

FILE 'REGISTRY' ENTERED AT 16:27:13 ON 07 DEC 2009

L55                STRUCTURE UPLOADED

L56                2 S L55 SSS SAM

L57                7 S L55 SSS FULL

FILE 'HCAPLUS' ENTERED AT 16:28:08 ON 07 DEC 2009

L58                10 S L57

L59                9 S L58 AND (PY<2004 OR AY<2004 OR PRY<2004)

L60                1 S L59 AND VIRAL?

L61                1 S L60 AND RESPIRATORY

L61 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Inhibitors of interleukin-1 $\beta$  converting enzyme

ACCESSION NUMBER: 1998:394349 HCAPLUS Full-text

DOCUMENT NUMBER: 129:54608

ORIGINAL REFERENCE NO.: 129:11385a,11388a

TITLE: Inhibitors of interleukin-1 $\beta$  converting enzyme

INVENTOR(S): Golec, Julian M. C.; Lauffer, David J.;

Livingston,

David J.; Mullican, Michael D.; Murcko, Mark

A.; Nyce,

Philip L.; Robidoux, Andrea L. C.; Wannamaker,

Marion

W.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA;

Golec,

Julian M. C.; Lauffer, David J.; Livingston,

David J.;

Mullican, Michael D.; Murcko, Mark A.; Nyce,



Philip

L.; Robidoux, Andrea L. C.; Wannamaker, Marion

W.

SOURCE:

PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
-----				
WO 9824805	A1	19980611	WO 1997-US22289	
19971205 <--				
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU,				
CZ, DE,				
DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG,				
KP, KR,				
KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,				
NO, NZ,				
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,				
UA, UG,				
US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES,				
FI, FR,				
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				
CM, GA,				
GN, ML, MR, NE, SN, TD, TG				
CA 2274249	A1	19980611	CA 1997-2274249	
19971205 <--				
AU 9858960	A	19980629	AU 1998-58960	
19971205 <--				
EP 944645	A1	19990929	EP 1997-954531	
19971205 <--				
EP 944645	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,				
MC, PT,				
IE, FI				
JP 2001505883	T	20010508	JP 1998-525818	
19971205 <--				
JP 4274584	B2	20090610		
AT 290545	T	20050315	AT 1997-954531	
19971205 <--				
ES 2239788	T3	20051001	ES 1997-954531	
19971205 <--				
US 6329365	B1	20011211	US 1999-326495	
19990604 <--				
US 20030069228	A1	20030410	US 2001-35850	
20011023 <--				
US 6573259	B2	20030603		
US 20040048855	A1	20040311	US 2003-424576	
20030425 <--				
US 6974809	B2	20051213		
PRIORITY APPLN. INFO.:			US 1996-32792P	P
19961206 <--			US 1997-42660P	P

19970404 <--  
 US 1997-53001P P  
 19970626 <--  
 WO 1997-US22289 W  
 19971205 <--  
 US 1999-326495 A3  
 19990604 <--  
 US 2001-35850 A3  
 20011023 <--  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 129:54608  
 IC ICM C07K005-023  
 ICS A61K038-06  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 28, 63  
 IT Respiratory distress syndrome  
 (newborn; inhibitors of interleukin-1 $\beta$  converting enzyme)  
 IT Hepatitis  
 (viral, chronic active; inhibitors of interleukin-1 $\beta$   
 converting enzyme)  
 IT 172968-04-6P 208758-94-5P 208758-95-6P 208758-96-7P  
 208758-97-8P  
 208758-98-9P 208758-99-0P 208759-00-6P 208759-01-7P  
 208759-02-8P  
 208759-03-9P 208759-04-0P 208759-05-1P 208759-06-2P  
 208759-07-3P  
 208759-09-5P 208759-11-9P 208759-13-1P 208759-15-3P  
 208759-17-5P 208759-19-7P 208759-21-1P 208759-24-4P  
 208759-26-6P  
 208759-28-8P 208759-30-2P 208759-32-4P 208759-38-0P  
 208759-39-1P  
 208759-40-4P 208759-41-5P 208759-42-6P 208759-43-7P  
 208759-44-8P  
 208759-45-9P 208759-46-0P 208759-47-1P 208759-48-2P  
 208759-49-3P  
 208759-50-6P 208759-51-7P 208759-52-8P 208759-53-9P  
 208759-54-0P  
 208759-55-1P 208759-56-2P 208759-57-3P 208759-58-4P  
 208759-59-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological  
 study, unclassified); SPN (Synthetic preparation); THU  
 (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (inhibitors of interleukin-1 $\beta$  converting enzyme)

L1 STRUCTURE UPLOADED  
 L2 3 S L1 SSS SAM  
 L3 67 S L1 SSS FULL  
 L4 STRUCTURE UPLOADED  
 L5 0 S L4 SSS SAM  
 L6 6 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:43:23 ON 08 DEC 2009

L7 2 S L6

L8 10 S L3

L9 9 S L8 AND (PY<2004 OR AY<2004 OR PRY<2004)

L10 0 S L9 AND (VIRAL OR VIRUS?)

L11 0 S L9 AND (SYNCYTIAL?)

L12 0 S L9 AND RESPIRATORY

L13 2 S L9 AND ?FLU?

FILE 'REGISTRY' ENTERED AT 12:51:21 ON 08 DEC 2009

L14 STRUCTURE UPLOADED

L15 0 S L14 SSS SAM

L16 0 S L14 SSS FULL

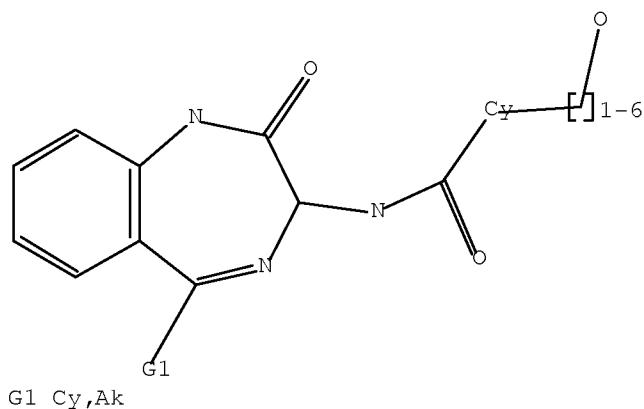
L17 STRUCTURE UPLOADED

L17 STRUCTURE UPLOADED

=> d l17

L17 HAS NO ANSWERS

L17 STR



L18 0 S L17 SSS SAM

L19 0 S L17 SSS FULL

L1 1 S US 20070185096/PN

FILE 'REGISTRY' ENTERED AT 18:10:50 ON 16 DEC 2009

L2 1 S 317846-22-3/RN

SET NOTICE 1 DISPLAY

SET NOTICE LOGIN DISPLAY

L3 0 S L1 AND 'BIS(5-AMIDINO-2-BENZIMIDAZOLYL)-METHANE'

L4 1 S 'BIS(5-AMIDINO-2-BENZIMIDAZOLYL)-METHANE'

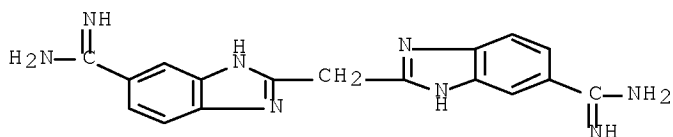
FILE 'HCAPLUS' ENTERED AT 18:13:47 ON 16 DEC 2009

FILE 'REGISTRY' ENTERED AT 18:14:05 ON 16 DEC 2009

E 74733-75-8/RN

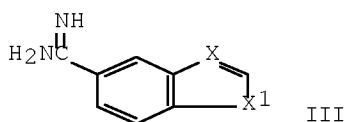
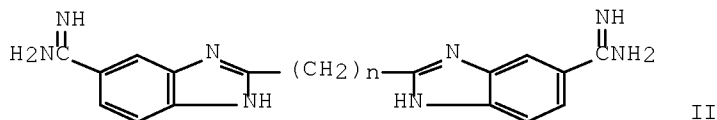
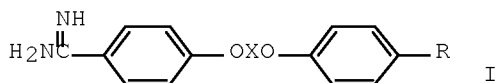
L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN

RN 74733-75-8 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 1H-Benzimidazole-6-carboximidamide, 2,2'-methylenebis- (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 1H-Benzimidazole-5-carboximidamide, 2,2'-methylenebis- (9CI)  
 OTHER NAMES:  
 CN APD 1  
 CN BABIM  
 CN Bis(5-Amidino-2-benzimidazolyl)methane  
 MF C17 H16 N8  
 CI COM  
 LC STN Files: ADISINSIGHT, AGRICOLA, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA,  
 CAPLUS, EMBASE, IMSRESEARCH, MEDLINE, PHAR, PROUSDDR, TOXCENTER, USPAT2,  
 USPATFULL  
 (\*File contains numerically searchable property data)



SET EXPAND CONTINUOUS  
 L5 1 S E3  
 FILE 'HCAPLUS' ENTERED AT 18:14:37 ON 16 DEC 2009  
 L6 54 S L5  
 L7 7 S L6 AND (SYNCYTIAL?)  
 L8 6 S L7 AND (PY<2004 OR AY<2004 OR PRY<2004)

L8 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2009 ACS on STN  
 TI Inhibition of respiratory syncytial virus-induced cell fusion by amidino compounds  
 GI



AB A number of aromatic mono- and bis-amidines I (R = H or C(NH)NH<sub>2</sub>, X = alkane-1,ω-diyl or 2-hydroxybutane-1,4-diyl), II (n = 1 or 2), and III (X = CH or N; X<sub>1</sub> = O, NH, NMe, or NCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>C(NH)NH<sub>2</sub>-4) capable of blocking cell fusion induced by respiratory syncytial (RS) virus are described. I (R = H, X = hexane-1,6-diyl or octane-1,8-diyl) were synthesized. The most powerful of the compds., II [~~74733-75-8~~] (n = 1), completely suppressed syncytium formation at a concentration of 1 μM. Inhibition occurs in RS virus-infected Hep-2 cells as well as CV-1 cells. II (n = 1) also caused a significant retardation of RS virus penetration, but did not interfere with adsorption. Addition of the amidines after the penetration of RS virus does not affect single cycle yields. Structure-activity relations are discussed. The compds. may be used in the prophylactic control of RS virus in man.

ACCESSION NUMBER: 1982:417115 HCAPLUS Full-text  
DOCUMENT NUMBER: 97:17115  
ORIGINAL REFERENCE NO.: 97:2905h,2906a  
TITLE: Inhibition of respiratory syncytial virus-induced cell fusion by amidino compounds  
INVENTOR(S): Tidwell, Richard R.; Dubovi, Edward J.; Geratz, Joachim D.  
PATENT ASSIGNEE(S): Research Triangle Institute, USA  
SOURCE: U.S., 7 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	----
US 4324794	A	19820413	US 1980-181341	
19800826 <--				
US 4397863	A	19830809	US 1982-366652	
19820408 <--				
US 4619942	A	19861028	US 1983-521084	
19830808 <--				
PRIORITY APPLN. INFO.:			US 1980-181341	A3
19800826 <--				
			US 1982-366652	A3
19820408 <--				
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 97:17115				
IC A61K031-415; A61K031-155; A61K031-40				
INCL 424273000B				
CC 1-5 (Pharmacology)				
IT 100-33-4	618-39-3	67834-00-8	71889-74-2	71889-75-3
71889-77-5				
71892-45-0	<del>74733-75-8</del>	75846-15-0	77838-88-1	77838-93-8
RL: BIOL (Biological study)				
(virus-induced cell fusion inhibition by, structure in relation to)				